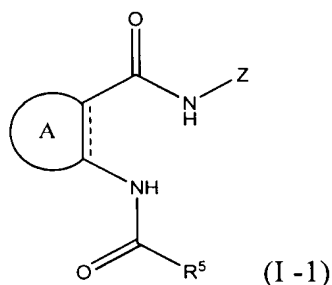


AMENDMENTS TO THE CLAIMS

Claims 1 - 49 (Canceled):

Claim 50 (Currently Amended). A compound represented by represented by formula (I-1), or a pharmaceutically acceptable salt thereof:



wherein

A represents a five- to nine-membered unsaturated carbocyclic moiety or a five- to nine-membered unsaturated heterocyclic moiety, and  $\equiv$  represents a single bond or a double bond,

the carbocyclic moiety and the heterocyclic moiety represented by A are optionally substituted by

- (a) a halogen atom;
- (b) hydroxyl;
- (c) C<sub>1-6</sub> alkyl;
- (d) C<sub>1-6</sub> alkoxy;
- (e) aryl;
- (f) aryloxy;
- (g) arylthio;
- (h) alkylthio;
- (i) nitro;

- (j) amino;
- (k) mono- or di-arylamino;
- (l) mono- or di-<sub>1-6</sub> alkylamino;
- (m) C<sub>2-6</sub> alkenyl;
- (n) C<sub>2-6</sub> alkenyloxy;
- (o) C<sub>2-6</sub> alkenylthio;
- (p) mono- or di-C<sub>2-6</sub> alkenylamino;
- (q) carboxyl; or
- (r) C<sub>1-6</sub> alkyl- or aryl-oxycarbonyl;

(c) the C<sub>1-6</sub> alkyl group, (d) the C<sub>1-6</sub> alkoxy group, (e) the aryl group, (f) the aryloxy group, (g) the arylthio group, (h) the alkylthio group, (m) the C<sub>2-6</sub> alkenyl group, (n) the C<sub>2-6</sub> alkenyloxy group, and (o) the C<sub>2-6</sub> alkenylthio group are optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio, (6) C<sub>1-6</sub> alkylsulfonyl, (7) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl, and the aryl group is optionally substituted by halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylamino, (15) C<sub>1-6</sub> alkoxy-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub> wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C<sub>3-7</sub> cycloalkyl,

the aryl moiety in (k) the mono- or di-arylamino group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio, (6) C<sub>1-6</sub> alkylsulfonyl, (7) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino

group is optionally substituted by C<sub>1-6</sub> alkyl, and the aryl group is optionally substituted by halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylamino, (15) C<sub>1-6</sub> alkoxy-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub> wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C<sub>3-7</sub> cycloalkyl, and, in the case of the mono-aryl amino group, the amino group is optionally substituted by C<sub>1-6</sub> alkyl optionally substituted by hydroxyl or a halogen atom,

in (1) the mono- or di-C<sub>1-6</sub> alkylamino, the di-C<sub>1-6</sub> alkyl group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, or aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkoxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkoxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C<sub>1-6</sub> alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbonylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

in (p) the mono- or di-C<sub>2-6</sub> alkenylamino group, the amino group of the monoalkenylamino group is optionally substituted by C<sub>1-6</sub> alkyl optionally substituted by

hydroxyl or a halogen atom, and the di- C<sub>2-6</sub> alkenyl together may form unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkenyl groups on the amino group or the unsaturated cyclic amino moiety are optionally substituted by a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkenyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C<sub>1-6</sub> alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbonylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

when the carbocyclic moiety and the heterocyclic moiety represented by A are substituted by two (c) C<sub>1-6</sub> alkyl groups or (m) C<sub>2-6</sub> alkenyl groups, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five to seven-membered carbocyclic ring,

R<sup>5</sup> represents C<sub>1-6</sub> alkyl, aryl, C<sub>1-6</sub> alkoxy, aryloxy, C<sub>1-6</sub> alkylamino, arylamino, C<sub>1-6</sub> alkylthio, arylthio, C<sub>3-7</sub> cycloalkyl, or a heterocyclic group, and the C<sub>1-6</sub> alkyl, the aryl, the

C<sub>1-6</sub> alkoxy, the aryloxy C<sub>1-6</sub> the alkylamino, the arylamino, the C<sub>1-6</sub> alkylthio, the arylthio, the C<sub>3-7</sub> cycloalkyl, or the heterocyclic group represented by R<sup>5</sup> is optionally substituted by

(I) a halogen atom;

(II) C<sub>1-6</sub> alkyl optionally containing a substituent selected from the group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio, (6) C<sub>1-6</sub> alkylsulfinyl, (7) C<sub>1-6</sub> alkylsulfonyl, (8) mono- or di C<sub>1-6</sub> alkylamino, (8') amino substituted by a heterocyclic group optionally substituted by C<sub>1-6</sub> alkyl, (9) C<sub>1-6</sub> alkylcarbonyloxy, (10) C<sub>1-6</sub> alkylcarbonylthio, (11) C<sub>1-6</sub> alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C<sub>1-6</sub> alkyl- or aryl-sulfonylamino, (18) C<sub>1-6</sub> alkyl- or aryl-ureido, (19) C<sub>1-6</sub> alkoxy- or aryloxy-carbonylamino, (20) C<sub>1-5</sub> alkylamino- or arylaminocarbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S(=O)<sub>j</sub> wherein Het represents a heterocyclic group, j is 0, 1, or 2, and the Het is optionally substituted by alkyl optionally substituted by mono- or di- C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl, (24) cyano, and (25) a halogen atom, wherein the alkyl moiety in (4) the C<sub>1-6</sub> alkoxy group, (5) the C<sub>1-6</sub> alkylthio group, (6) the C<sub>1-6</sub> alkylsulfinyl group, and (7) the C<sub>1-6</sub> alkylsulfonyl group is optionally substituted by a halogen atom; C<sub>1-6</sub> alkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms; aryloxy; arylthio; hydroxyl; carboxyl; -S(=O)<sub>2</sub>(-OH); C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl; or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3

heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxy, and

in (8) the mono- or di-C<sub>1-6</sub> alkylamino group, the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

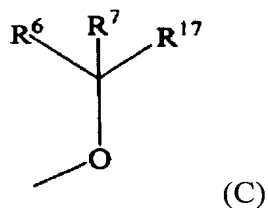
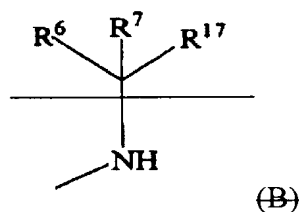
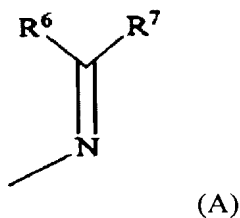
(III) C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom;

- (IV) C<sub>1-6</sub> alkylthio optionally substituted by a halogen atom;
- (V) C<sub>3-7</sub> cycloalkyl;
- (VI) aryl;
- (VII) aryloxy;
- (VIII) C<sub>1-6</sub> alkylcarbonylamino;
- (VIX) C<sub>1-6</sub> alkylcarbonyloxy;
- (X) hydroxyl;
- (XI) nitro;
- (XII) cyano;
- (XIII) amino;
- (XIV) mono or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms;
- (XV) arylamino;
- (XVI) C<sub>1-6</sub> alkyl- or aryl-sulfonylamino;
- (XVII) C<sub>1-6</sub> alkyl- or aryl-ureido;
- (XVIII) C<sub>1-6</sub> alkoxy- or aryloxy-carbonylamino;
- (XIX) C<sub>1-6</sub> alkylamino- or arylamino-carbonyloxy;
- (XX) C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl;
- (XXI) acyl;
- (XXII) carboxyl;
- (XXIII) carbamoyl;
- (XXIV) mono- or di-alkylcarbamoyl;
- (XXV) a heterocyclic group;
- (XXVI) alkyl- or aryl-sulfonyl;

(XXVII) C<sub>2-6</sub> alkenyloxy group; or

(XXVIII) C<sub>2-6</sub> alkenyloxy,

Z represents group (A), ~~group (B)~~, or group (C):



wherein

R<sup>6</sup> and R<sup>7</sup>, which may be the same or different, represent a hydrogen atom, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkenyl, aryl, aryl C<sub>1-6</sub> alkyl, aryl C<sub>2-6</sub> alkenyl, or a heterocyclic group, ~~with the proviso that R<sup>6</sup> and R<sup>7</sup> do not represent a hydrogen atom simultaneously~~, and the C<sub>1-6</sub> alkyl, the aryl, the aryl C<sub>1-6</sub> alkyl, the aryl C<sub>2-6</sub> alkenyl, and the heterocyclic groups, which may be the same or different, are optionally substituted by.

(I) a halogen atom;

(II) C<sub>1-6</sub> alkyl optionally having a substituent selected from a group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio optionally substituted by hydroxyl, (6) C<sub>1-6</sub> alkylsulfinyl, (7) C<sub>1-6</sub> alkylsulfonyl, (8) mono- or di-C<sub>1-6</sub> alkylamino in



which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (9) C<sub>1-6</sub> alkylcarbonyloxy, (10) C<sub>1-6</sub> alkylcarbonylthio, (11) C<sub>1-6</sub> alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C<sub>1-8</sub> alkyl- or aryl-sulfonylamino, (18) C<sub>1-6</sub> alkyl- or aryl-ureido, (19) C<sub>1-6</sub> alkoxy- or aryloxy-carbonylamino, (20) C<sub>1-6</sub> alkylamino- or arylamino-carbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S- wherein Het represents a heterocyclic group, (24) cyano, (25) a halogen atom, and (26) C<sub>1-6</sub> alkyl- or aryl-oxycarbonyl;

(III) C<sub>1-6</sub> alkoxy optionally having a substituent selected from the group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio optionally substituted by hydroxyl, (6) C<sub>1-6</sub> alkylsulfinyl, (7) C<sub>1-6</sub> alkylsulfonyl, (8) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (9) C<sub>1-6</sub> alkylcarbonyloxy, (10) C<sub>1-6</sub> alkylcarbonylthio, (11) C<sub>1-6</sub> alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C<sub>1-6</sub> alkyl- or aryl-sulfonylamino, (18) C<sub>1-8</sub> alkyl- or aryl-ureido, (19) C<sub>1-6</sub> alkoxy- or aryloxy-carbonylamino, (20) C<sub>1-6</sub> alkylamino- or arylamino-carbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S- wherein the Het represents a heterocyclic group, (24) cyano, (25) a halogen atom, and (26) C<sub>1-6</sub> alkyl- or aryl-oxycarbonyl;

(IV) C<sub>1-6</sub> alkylthio optionally substituted by a halogen atom;

(V) C<sub>3-7</sub> cycloalkyl;

(VI) aryl;

(VII) aryloxy;

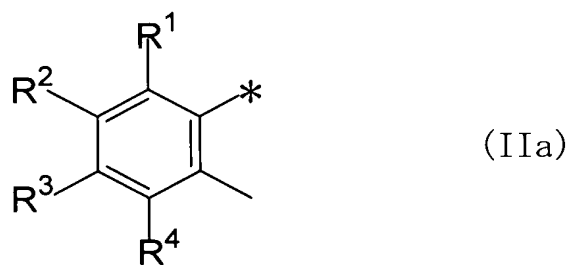
(VIII) C<sub>1-6</sub> alkylcarbonylamino;

(VIX) C<sub>1-6</sub> alkylcarbonyloxy;

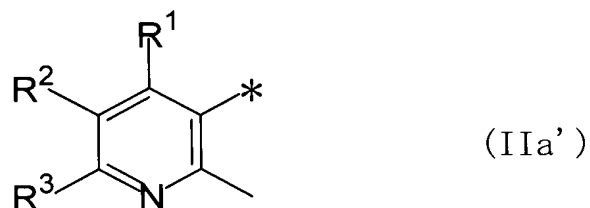
(X) hydroxyl;

- (XI) nitro;
  - (XII) cyano;
  - (XIII) amino;
  - (XIV) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms;
  - (XV) arylamino;
  - (XVI) C<sub>1-6</sub> alkyl- or aryl-sulfonylamino;
  - (XVII) C<sub>1-6</sub> alkyl- or aryl-ureido;
  - (XVIII) C<sub>1-6</sub> alkoxy- or aryloxy-carbonylamino;
  - (XIX) C<sub>1-6</sub> alkylamino- or arylamino-carbonyloxy;
  - (XX) C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl;
  - (XXI) aryl;
  - (XXII) carboxyl;
  - (XXIII) carbamoyl;
  - (XXIV) mono- or di-alkylcarbamoyl;
  - (XXV) a heterocyclic group;
  - (XXVI) alkyl- or aryl-sulfonyl;
  - (XXVII) C<sub>2-6</sub> alkenyloxy; or
  - (XXVIII) C<sub>2-6</sub> alkynyloxy,
- R<sup>17</sup> represents a hydrogen atom.

Claim 51 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa) or formula (IIa'):



(IIa)



(IIa')

wherein  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$ , which may be the same or different, represent

- (a) a halogen atom;
- (b) hydroxyl;
- (c)  $C_{1-6}$  alkyl;
- (d)  $C_{1-6}$  alkoxy;
- (e) aryl;
- (f) aryloxy;
- (g) arylthio;
- (h) alkylthio;
- (i) nitro;
- (j) amino;
- (k) mono- or di-arylamino;
- (l) mono- or di- $C_{1-6}$  alkylamino;
- (m)  $C_{2-6}$  alkenyl;
- (n)  $C_{2-6}$  alkenyloxy;
- (o)  $C_{2-6}$  alkenylthio;

(p) mono- or di-C<sub>2-6</sub> alkenylamino;

(q) carboxyl;

(r) C<sub>1-6</sub> alkyl- or aryl-oxycarbonyl; or

(s) a hydrogen atom,

(c) the C<sub>1-6</sub> alkyl group, (d) the C<sub>1-6</sub> alkoxy group, (e) the aryl group, (f) the aryloxy group, (g) the arylthio group, (h) the alkylthio group, (m) the C<sub>2-6</sub> alkenyl group, (n) the C<sub>2-6</sub> alkenyloxy group, and (o) the C<sub>2-6</sub> alkenylthio group are optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio, (6) C<sub>1-6</sub> alkylsulfonyl, (7) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl, and the aryl group is optionally substituted by halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylamino, (15) C<sub>1-6</sub> alkoxy-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub> wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C<sub>3-7</sub> cycloalkyl,

the aryl moiety in (k) the mono- or di-aryl amino group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio, (6) C<sub>1-6</sub> alkylsulfonyl, (7) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl, and the aryl group is optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylamino, (15) C<sub>1-6</sub> alkoxy-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub> wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C<sub>3-7</sub> cycloalkyl, and, in the case of the mono-aryl amino group, the amino group is optionally substituted by C<sub>1-6</sub> alkyl optionally substituted by hydroxyl or a halogen atom,

in (l) the mono- or di-C<sub>1-6</sub> alkylamino, the di-C<sub>1-6</sub> alkyl group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, or aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C<sub>1-6</sub> alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

in (p) the mono- or di-C<sub>2-6</sub> alkenylamino group, the amino group of the monoalkenylamino group is optionally substituted by C<sub>1-6</sub> alkyl optionally substituted by hydroxyl or a halogen atom, and the di-C<sub>2-6</sub> alkenyl together may form unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkenyl groups on the amino group or the unsaturated cyclic amino moiety is optionally substituted by a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a

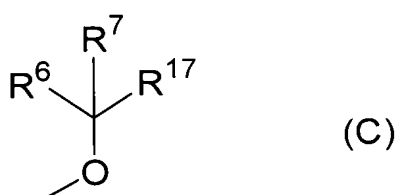
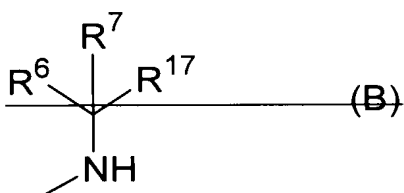
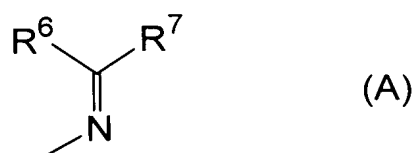
halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C<sub>1-6</sub> alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

when two of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are (c) C<sub>1-6</sub> alkyl groups or (m) C<sub>2-6</sub> alkenyl groups, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

\* represents a bond to -C(=O)-NH(-Z)

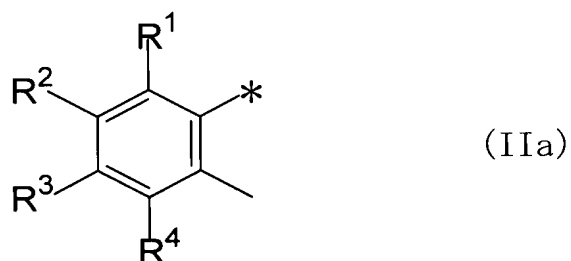
R<sup>5</sup> represents ~~optionally-substituted~~ C<sub>5-7</sub> cycloalkyl, ~~optionally-substituted~~ aryl, or ~~optionally-substituted~~ saturated or unsaturated five- or six-membered heterocyclic group, and the C<sub>5-7</sub> cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R<sup>5</sup> is optionally substituted by (I), (II), (III), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXII), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A), ~~group (B)~~, or group (C):



wherein  $R^6$  represents a hydrogen atom or  $C_{1-6}$  alkyl,  $R^7$  represents optionally substituted aryl, optionally substituted aryl  $C_{1-6}$  alkyl, optionally substituted aryl  $C_{2-6}$  alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and  $R^{17}$  represents a hydrogen atom.

Claim 52 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa):



wherein  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$ , which may be the same or different, represent

(a) a halogen atom;

(b) hydroxyl;

- (c) C<sub>1-6</sub> alkyl;
- (d) C<sub>1-6</sub> alkoxy;
- (e) aryl;
- (f) aryloxy;
- (g) arylthio;
- (h) alkylthio;
- (i) nitro;
- (j) amino;
- (k) mono- or di-arylamino;
- (l) mono- or di-C<sub>1-6</sub> alkylamino;
- (m) C<sub>2-6</sub> alkenyl;
- (n) C<sub>2-6</sub> alkenyloxy;
- (o) C<sub>2-6</sub> alkenylthio;
- (p) mono- or di-C<sub>2-6</sub> alkenylamino;
- (q) carboxyl;
- (r) C<sub>1-6</sub> alkyl- or aryl-oxycarbonyl; or
- (s) a hydrogen atom,

(c) the C<sub>1-6</sub> alkyl group, (d) the C<sub>1-6</sub> alkoxy group, (e) the aryl group, (f) the aryloxy group, (g) the arylthio group, (h) the alkylthio group, (m) the C<sub>2-6</sub> alkenyl group, (n) the C<sub>2-6</sub> alkenyloxy group, and (o) the C<sub>2-6</sub> alkenylthio group are optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio, (6) C<sub>1-6</sub> alkylsulfonyl, (7) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino



group is optionally substituted by C<sub>1-6</sub> alkyl, and the aryl group is optionally substituted by halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylamino, (15) C<sub>1-6</sub> alkoxy-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub> wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C<sub>3-7</sub> cycloalkyl,

the aryl moiety in (k) the mono- or di-arylamino group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio, (6) C<sub>1-6</sub> alkylsulfonyl, (7) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl, and the aryl group is optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylamino, (15) C<sub>1-6</sub> alkoxy-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub> wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C<sub>3-7</sub> cycloalkyl, and, in the case of the mono-arylamino group, the amino group is optionally substituted by C<sub>1-6</sub> alkyl optionally substituted by hydroxyl or a halogen atom,

in (l) the mono- or di-C<sub>1-6</sub> alkylamino, the di-C<sub>1-6</sub> alkyl group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, or aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkoxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkoxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C<sub>1-6</sub> alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the

amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

in (p) the mono- or di-C<sub>2-6</sub> alkenylamino group, the amino group of the monoalkenylamino group is optionally substituted by C<sub>1-6</sub> alkyl optionally substituted by hydroxyl or a halogen atom, and the di-C<sub>2-6</sub> alkenyl together may form unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkenyl groups on the amino group or the unsaturated cyclic amino moiety is optionally substituted by a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C<sub>1-6</sub> alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl;

carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

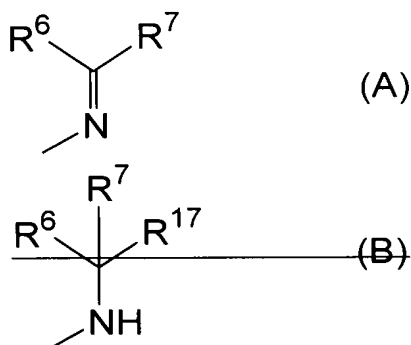
when two of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are (c) C<sub>1-6</sub> alkyl groups or (m) C<sub>2-6</sub> alkenyl groups, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

\* represents a bond to -C(=O)-NH(-Z)

---- represents a double bond,

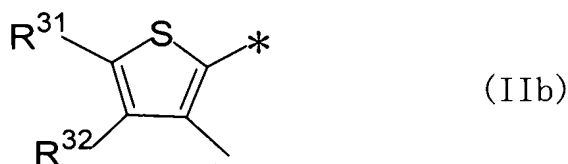
R<sup>5</sup> represents ~~optionally substituted~~ C<sub>5-7</sub> cycloalkyl, ~~optionally substituted~~ aryl, or ~~optionally substituted~~ saturated or unsaturated five- or six-membered heterocyclic group, and the C<sub>5-7</sub> cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R<sup>5</sup> is optionally substituted by (I), (II), (III), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXII), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A) ~~or group (B)~~:



wherein R<sup>6</sup> represents a hydrogen atom or C<sub>1-6</sub> alkyl, R<sup>7</sup> represents optionally substituted aryl, optionally substituted aryl C<sub>1-6</sub> alkyl, optionally substituted aryl C<sub>2-6</sub> alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R<sup>17</sup> represents a hydrogen atom.

Claim 53 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIb):



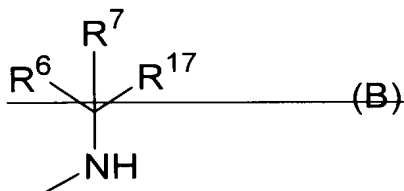
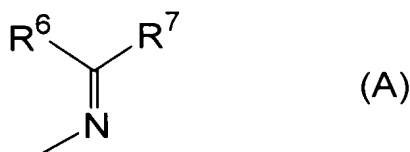
wherein R<sup>31</sup> and R<sup>32</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; or C<sub>1-6</sub> alkyl in which the alkyl group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio, (6) C<sub>1-6</sub> alkylsulfonyl, (7) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl, and the aryl group is optionally substituted by a halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylamino; or C<sub>2-6</sub> alkenyl,

when R<sup>31</sup> and R<sup>32</sup> represent C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkenyl, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

\* represents a bond to -C(=O)-NH(-Z)

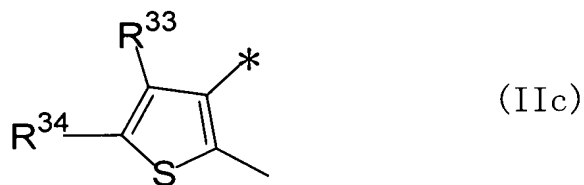
R<sup>5</sup> represents ~~optionally substituted~~ C<sub>5-7</sub> cycloalkyl, ~~optionally substituted~~ aryl, or ~~optionally substituted~~ saturated or unsaturated five- or six-membered heterocyclic group, and the C<sub>5-7</sub> cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R<sup>5</sup> is optionally substituted by (I), (II), (III), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXII), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A) ~~or group (B)~~:



wherein  $R^6$  represents a hydrogen atom or  $C_{1-6}$  alkyl,  $R^7$  represents optionally substituted aryl, optionally substituted aryl  $C_{1-6}$  alkyl, optionally substituted aryl  $C_{2-6}$  alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and  $R^{17}$  represents a hydrogen atom.

Claim 54 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIc):



wherein  $R^{33}$  and  $R^{34}$ , which may be the same or different, represent a hydrogen atom; a halogen atom; or  $C_{1-6}$  alkyl in which the alkyl group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4)  $C_{1-6}$  alkoxy, (5)  $C_{1-6}$  alkylthio, (6)  $C_{1-6}$  alkylsulfonyl, (7) mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino

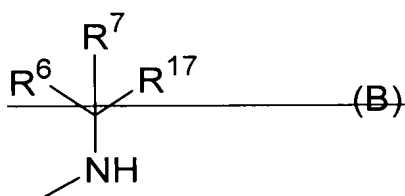
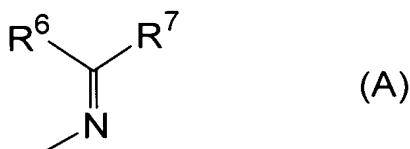
group is optionally substituted by C<sub>1-6</sub> alkyl, and the aryl group is optionally substituted by a halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylamino; or C<sub>2-6</sub> alkenyl,

when R<sup>33</sup> and R<sup>34</sup> represent C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkenyl, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

\* represents a bond to -C(=O)-NH(-Z)

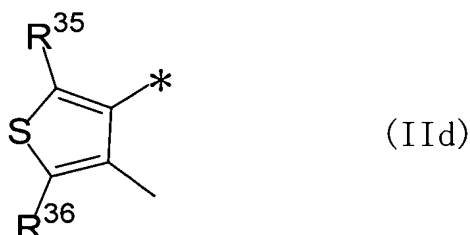
R<sup>5</sup> represents ~~optionally substituted~~ C<sub>5-7</sub> cycloalkyl, ~~optionally substituted~~ aryl, or ~~optionally substituted~~ saturated or unsaturated five- or six-membered heterocyclic group, and the C<sub>5-7</sub> cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R<sup>5</sup> is optionally substituted by (I), (II), (III), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXII), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A) ~~or group (B)~~:



wherein R<sup>6</sup> represents a hydrogen atom or C<sub>1-6</sub> alkyl, R<sup>7</sup> represents optionally substituted aryl, optionally substituted aryl C<sub>1-6</sub> alkyl, optionally substituted aryl C<sub>2-6</sub> alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R<sup>17</sup> represents a hydrogen atom.

Claim 55 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIId):

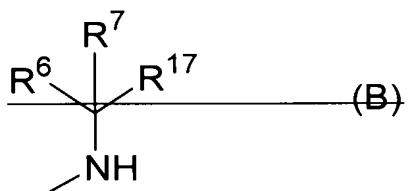
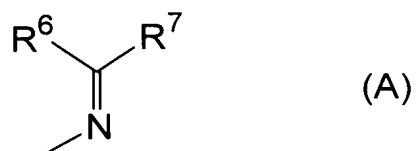


wherein R<sup>35</sup> and R<sup>36</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; or C<sub>1-6</sub> alkyl in which the alkyl group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C<sub>1-6</sub> alkoxy, (5) C<sub>1-6</sub> alkylthio, (6) C<sub>1-6</sub> alkylsulfonyl, (7) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl, and the aryl group is optionally substituted by a halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylamino; or C<sub>2-6</sub> alkenyl, and

\* represents a bond to -C(=O)-NH(-Z)

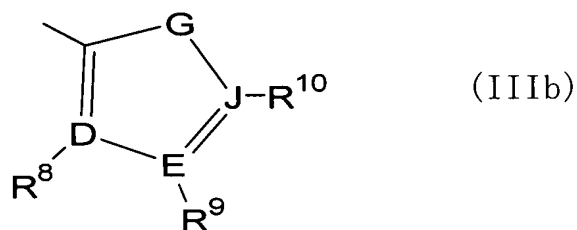
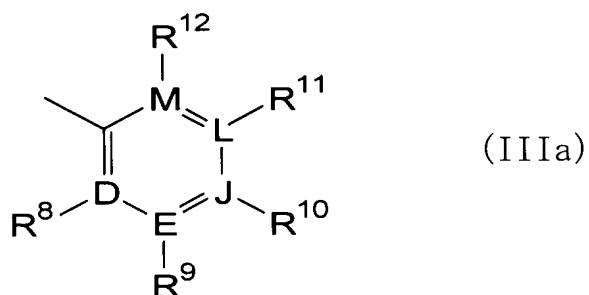
R<sup>5</sup> represents ~~optionally substituted~~ C<sub>5-7</sub> cycloalkyl, ~~optionally substituted~~ aryl, or ~~optionally substituted~~ saturated or unsaturated five- or six-membered heterocyclic group, and the C<sub>5-7</sub> cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R<sup>5</sup> is optionally substituted by (I), (II), (III), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXII), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A) ~~or group (B)~~:

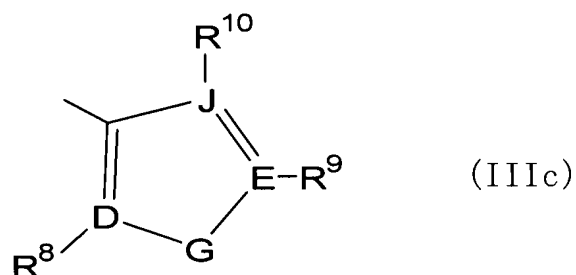


wherein  $R^6$  represents a hydrogen atom or  $C_{1-6}$  alkyl,  $R^7$  represents optionally substituted aryl, optionally substituted aryl  $C_{1-6}$  alkyl, optionally substituted aryl  $C_{2-6}$  alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and  $R^{17}$  represents a hydrogen atom.

Claim 56 (Previously Presented): The compound according to claim 51, wherein  $R^5$  represents formula (IIIa), formula (IIIb), or formula (IIIc)







wherein

D, E, J, L, and M, which may be the same or different, represent a carbon or nitrogen atom,

G represents an oxygen or sulfur atom,

$R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$ , which may be the same or different, represent

(I) a halogen atom;

(II)  $C_{1-6}$  alkyl optionally containing a substituent selected from the group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4)  $C_{1-6}$  alkoxy, (5)  $C_{1-6}$  alkylthio, (6)  $C_{1-6}$  alkylsulfinyl, (7)  $C_{1-6}$  alkylsulfonyl, (8) mono- or di- $C_{1-6}$  alkylamino, (8') amino substituted by a heterocyclic group optionally substituted by  $C_{1-6}$  alkyl, (9)  $C_{1-6}$  alkylcarbonyloxy, (10)  $C_{1-6}$  alkylcarbonylthio, (11)  $C_{1-6}$  alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17)  $C_{1-6}$  alkyl- or aryl-sulfonylamino, (18)  $C_{1-6}$  alkyl- or aryl-ureido, (19)  $C_{1-6}$  alkoxy- or aryloxy-carbonylamino, (20)  $C_{1-6}$  alkylamino- or arylamino-carbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S(=O)<sub>j</sub>- wherein the Het represents a heterocyclic group, j is 0, 1, or 2, and Het is optionally substituted by alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl, (24) cyano, and (25) a halogen atom,

wherein the alkyl moiety in (4) the C<sub>1-6</sub> alkoxy group, (5) the C<sub>1-6</sub> alkylthio group, (6) the C<sub>1-6</sub> alkylsulfinyl group, and (7) the C<sub>1-6</sub> alkylsulfonyl group is optionally substituted by a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms; aryloxy; arylthio; hydroxyl; carboxyl; -S(=O)<sub>2</sub>(-OH); C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl; or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxy, and

in (8) the mono- or di-C<sub>1-6</sub> alkylamino group, the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy;

arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

(III) C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom;

(IV) C<sub>1-6</sub> alkylthio optionally substituted by a halogen atom;

(V) C<sub>3-7</sub> cycloalkyl;

(VI) aryl;

(VII) aryloxy;

(VIII) C<sub>1-6</sub> alkylcarbonylamino;

(VIX) C<sub>1-6</sub> alkylcarbonyloxy;

(X) hydroxyl;

(XI) nitro;

(XII) cyano;

(XIII) amino;

(XIV) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms;

(XV) arylamino;

(XVI) C<sub>1-6</sub> alkyl- or aryl-sulfonylamino;

(XVII) C<sub>1-6</sub> alkyl- or aryl-ureido;

(XVIII) C<sub>1-6</sub> alkoxy- or aryloxy-carbonylamino;

(XIX) C<sub>1-6</sub> alkylamino- or arylamino-carbonyloxy;

(XX) C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl;

(XXI) acyl;

(XXII) carboxyl;

(XXIII) carbamoyl;

(XXIV) mono- or di-alkylcarbamoyl;

(XXV) a heterocyclic group;

(XXVI) alkyl- or aryl-sulfonyl;

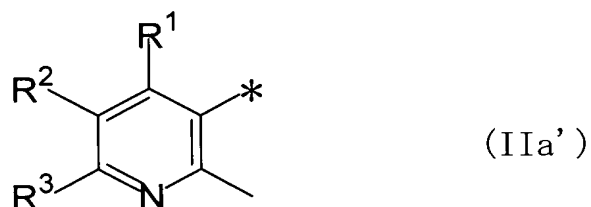
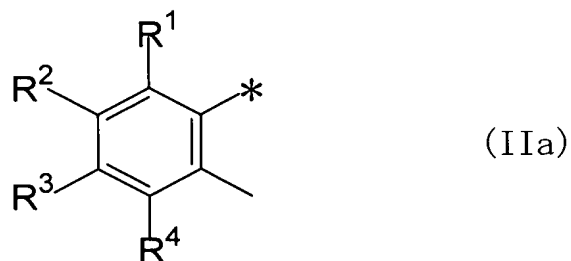
(XXVII) C<sub>2-6</sub> alkenyloxy;

(XXVIII) C<sub>2-6</sub> alkynyloxy; or

(XXIX) a hydrogen atom, and

when D, E, J, L, or M represents a nitrogen atom, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, and R<sup>12</sup> each are absent, or otherwise may combine with a nitrogen atom to form N-oxide (N → O).

Claim 57 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa) or formula (IIa'):



wherein

(1)  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  represent a hydrogen atom,

(2)  $R^1$  and  $R^4$  represent a hydrogen atom, any one of  $R^2$  and  $R^3$  represents a halogen atom; hydroxyl; optionally substituted  $C_{1-6}$  alkyl; optionally substituted  $C_{1-6}$  alkoxy; optionally substituted mono- or di-arylamino; optionally substituted mono- or di- $C_{1-6}$  alkylamino in which the dialkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms; or optionally substituted mono- or di- $C_{2-6}$  alkenylamino in which the di- $C_{2-6}$  alkenylamino group together may form optionally substituted unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom,

(3)  $R^1$  and  $R^4$  represent a hydrogen atom, and  $R^2$  and  $R^3$ , which may be the same or different, represent a halogen atom; hydroxyl; optionally substituted  $C_{1-6}$  alkyl; or optionally substituted  $C_{1-6}$  alkoxy,

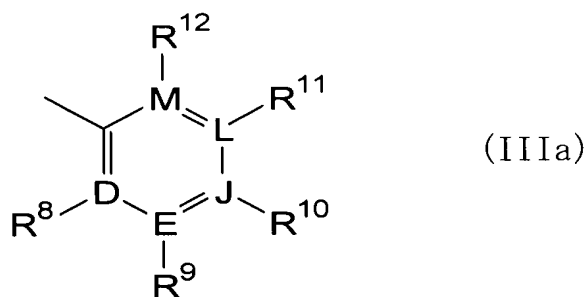
(4)  $R^1$  and  $R^4$  represent a hydrogen atom, and  $R^2$  and  $R^3$  together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring,

(5)  $R^1$  and  $R^4$  represent a hydrogen atom, any one of  $R^2$  and  $R^3$  represents optionally substituted mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom, or

(6)  $R^1$  and  $R^4$  represent a hydrogen atom, any one of  $R^2$  and  $R^3$  represents optionally substituted  $C_{1-6}$  alkoxy, and the other represents a hydrogen atom, and

\* represents a bond to  $-C(=O)-NH(-Z)$

$R^5$  represents formula (IIIa)



wherein

(i) D, E, J, L, and M represent a carbon atom, any one or two of  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$ , which may be the same or different, represent a halogen atom; hydroxymethyl;  $C_{1-6}$  alkyl optionally substituted by a halogen atom; or  $C_{1-6}$  alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$  may be the same or different and represent a halogen atom; hydroxymethyl;  $C_{1-6}$  alkyl optionally substituted by a halogen atom, or  $C_{1-6}$  alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(iii) D, E, J, L, and M represent a carbon atom,  $R^8$ ,  $R^9$ , and  $R^{12}$  represent a hydrogen atom, any one of  $R^{10}$  and  $R^{11}$  represents a group of formula (IV)



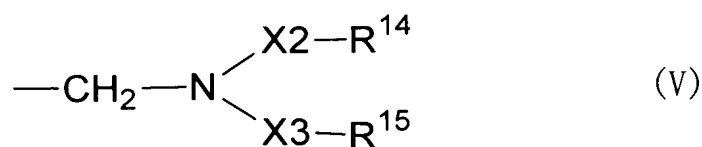
wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

$R^{13}$  represents a hydrogen atom, a halogen atom,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  alkylthio, mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino group may

form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;  
or a group of formula (V)



wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino

group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X<sub>2</sub> represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X<sub>3</sub> represents a bond, R<sup>15</sup> represents a hydrogen atom, or

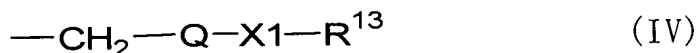
R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R<sup>14</sup> and R<sup>15</sup> are attached, and is optionally substituted by hydroxyl; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino



optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom, or

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R<sup>8</sup>, R<sup>9</sup>, and R<sup>12</sup> represent a hydrogen atom, and one of R<sup>10</sup> and R<sup>11</sup> represents a group of formula (IV)



wherein

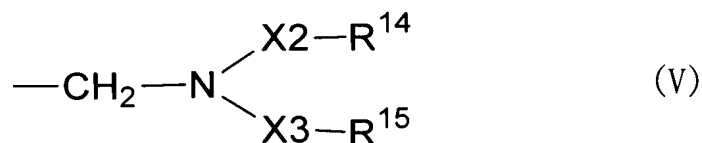
Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl

groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

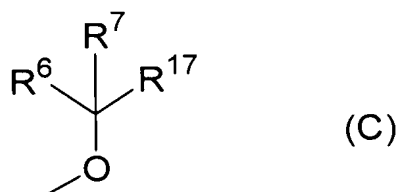
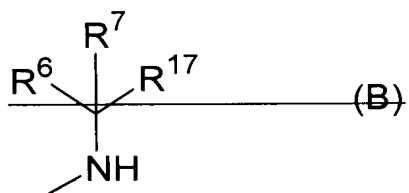
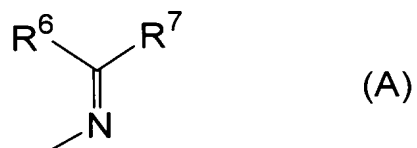
X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or

aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X<sub>2</sub> represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X<sub>3</sub> represents a bond, R<sup>15</sup> represents a hydrogen atom, or

R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R<sup>14</sup> and R<sup>15</sup> are attached, and is optionally substituted by hydroxyl; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C<sub>1-6</sub> alkylcarbonylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic

carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;  
and the other represents a hydrogen atom,  
Z represents group (A), ~~group (B)~~, or group (C):



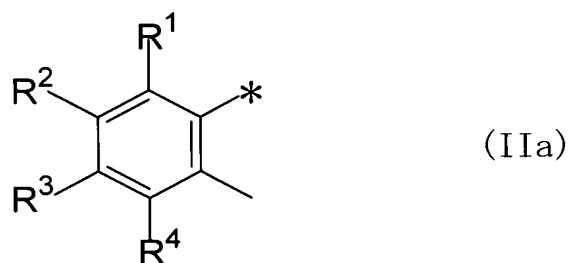
wherein

$R^6$  represents a hydrogen atom or  $C_{1-6}$  alkyl,

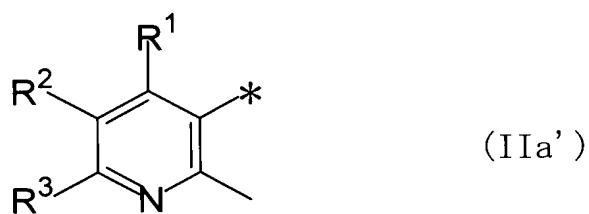
$R^7$  represents optionally substituted aryl, optionally substituted aryl  $C_{1-6}$  alkyl, optionally substituted aryl  $C_{2-6}$  alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

$R^{17}$  represents a hydrogen atom.

Claim 58 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa) or formula (IIa')



(IIa)



(IIa')

wherein

(1)  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  represent a hydrogen atom,

(2)  $R^1$  and  $R^4$  represent a hydrogen atom, any one of  $R^2$  and  $R^3$  represents a halogen atom; hydroxyl; optionally substituted  $C_{1-6}$  alkyl; optionally substituted  $C_{1-6}$  alkoxy; optionally substituted mono- or di-arylamino; optionally substituted mono- or di- $C_{1-6}$  alkylamino in which the dialkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms; or optionally substituted mono- or di- $C_{2-6}$  alkenylamino in which the di- $C_{2-6}$  alkenylamino group together may form optionally substituted unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom,

(3)  $R^1$  and  $R^4$  represent a hydrogen atom, and  $R^2$  and  $R^3$ , which may be the same or different, represent a halogen atom; hydroxyl; optionally substituted  $C_{1-6}$  alkyl; or optionally substituted  $C_{1-6}$  alkoxy,

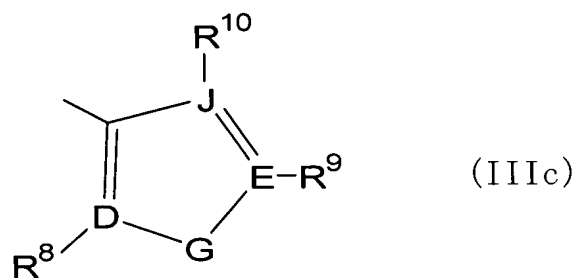
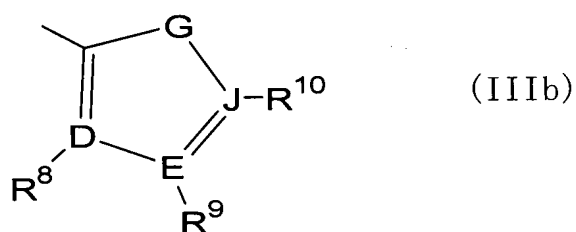
(4)  $R^1$  and  $R^4$  represent a hydrogen atom, and  $R^2$  and  $R^3$  together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring,

(5)  $R^1$  and  $R^4$  represent a hydrogen atom, any one of  $R^2$  and  $R^3$  represents optionally substituted mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom, or

(6)  $R^1$  and  $R^4$  represent a hydrogen atom, any one of  $R^2$  and  $R^3$  represents optionally substituted  $C_{1-6}$  alkoxy, and the other represents a hydrogen atom, and

\* represents a bond to  $-C(=O)-NH(-Z)$

$R^5$  represents formula (IIIb) or formula (IIIc)

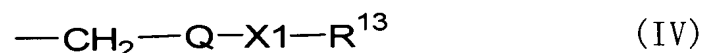


wherein

(i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of  $R^8$ ,  $R^9$ , and  $R^{10}$ , which may be the same or different, represent a halogen atom;

hydroxymethyl; C<sub>1-6</sub> alkyl optionally substituted by a halogen atom; or C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom, or

(ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup> represents a group of formula (IV)



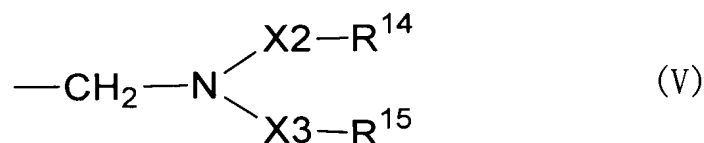
wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein ~~wherein~~

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X3 represents a bond, R<sup>15</sup> represents a hydrogen atom, or

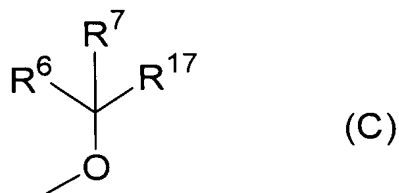
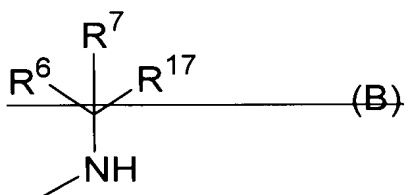
R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in



addition to the nitrogen atom, to which  $R^{14}$  and  $R^{15}$  are attached, and is optionally substituted by hydroxyl;  $C_{1-6}$  alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom,  $C_{1-6}$  alkyl, or  $C_{1-6}$  alkyloxy, or a heterocyclic group optionally substituted by a halogen atom,  $C_{1-6}$  alkyl, or  $C_{1-6}$  alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two  $C_{1-6}$  alkyl groups, they together may form  $C_{3-7}$  cycloalkyl; mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di- $C_{1-6}$  alkylcarbamoylmethyl in which the di- $C_{1-6}$  alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two  $C_{1-6}$  alkoxy groups which may be the same or different, the two alkoxy groups together may form group  $-O-(CH_2)_p-O-$  wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group; and the others represent a hydrogen atom,

Z represents group (A), ~~group (B)~~, or group (C):





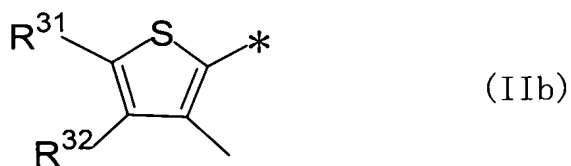
wherein

$R^6$  represents a hydrogen atom or  $C_{1-6}$  alkyl,

$R^7$  represents optionally substituted aryl, optionally substituted aryl  $C_{1-6}$  alkyl, optionally substituted aryl  $C_{2-6}$  alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

$R^{17}$  represents a hydrogen atom.

Claim 59 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIb)



wherein

(i)  $R^{31}$  and  $R^{32}$  represent a hydrogen atom,

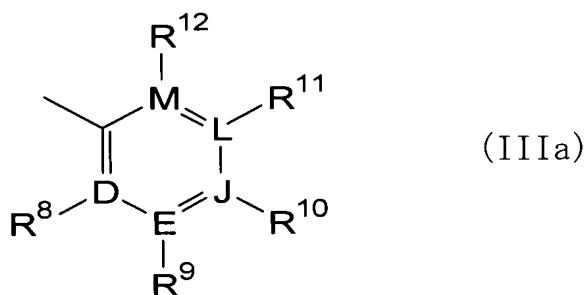
(ii) any one of  $R^{31}$  and  $R^{32}$  represents a hydrogen atom, and the other represents  $C_{1-6}$  alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,

(iii)  $R^{31}$  and  $R^{32}$ , which may be the same or different, represent  $C_{1-6}$  alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or

(iv)  $R^{31}$  and  $R^{32}$  together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and

\* represents a bond to  $-C(=O)-NH(-Z)$

$R^5$  represents formula (IIIa)



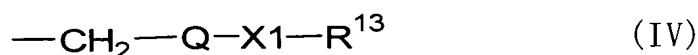
wherein

(i) D, E, J, L, and M represent a carbon atom, any one or two of  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$ , which may be the same or different, represent a halogen atom; hydroxymethyl;  $C_{1-6}$  alkyl optionally substituted by a halogen atom; or  $C_{1-6}$  alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$  may be the same or different and represent a halogen atom; hydroxymethyl;  $C_{1-6}$  alkyl optionally substituted by a

halogen atom, or C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(iii) D, E, J, L, and M represent a carbon atom, R<sup>8</sup>, R<sup>9</sup>, and R<sup>12</sup> represent a hydrogen atom, any one of R<sup>10</sup> and R<sup>11</sup> represents a group of formula (IV)

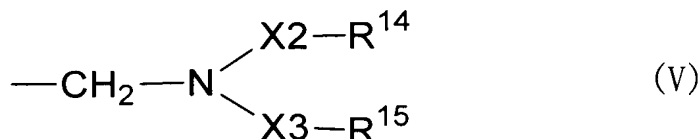


wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;  
 or a group of formula (V)



wherein ~~wherein~~

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

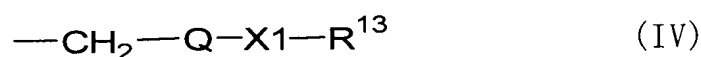
X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X3 represents a bond, R<sup>15</sup> represents a hydrogen atom, or

R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in

addition to the nitrogen atom, to which R<sup>14</sup> and R<sup>15</sup> are attached, and is optionally substituted by hydroxyl; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group; and the other represents a hydrogen atom,

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R<sup>8</sup>, R<sup>9</sup>, and R<sup>12</sup> represent a hydrogen atom, and one of R<sup>10</sup> and R<sup>11</sup> represents a group of formula (IV)



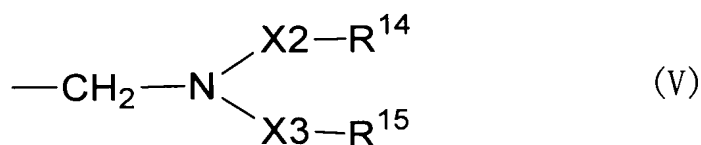
wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein ~~wherein~~

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

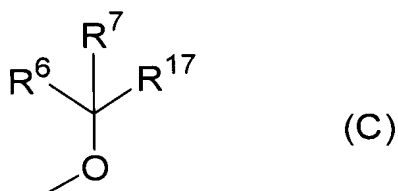
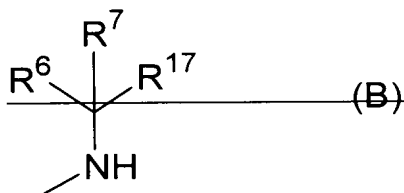
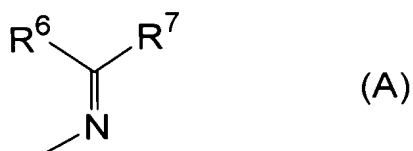
R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic

group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X<sub>2</sub> represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X<sub>3</sub> represents a bond, R<sup>15</sup> represents a hydrogen atom, or

R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R<sup>14</sup> and R<sup>15</sup> are attached, and is optionally substituted by hydroxyl; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form



cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group; and the other represents a hydrogen atom, Z represents group (A), ~~group (B)~~, or group (C):



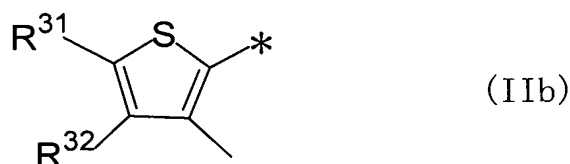
wherein

$R^6$  represents a hydrogen atom or  $C_{1-6}$  alkyl,

$R^7$  represents optionally substituted aryl, optionally substituted aryl  $C_{1-6}$  alkyl, optionally substituted aryl  $C_{2-6}$  alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

$R^{17}$  represents a hydrogen atom.

Claim 60 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIb)



wherein

(i)  $R^{31}$  and  $R^{32}$  represent a hydrogen atom,

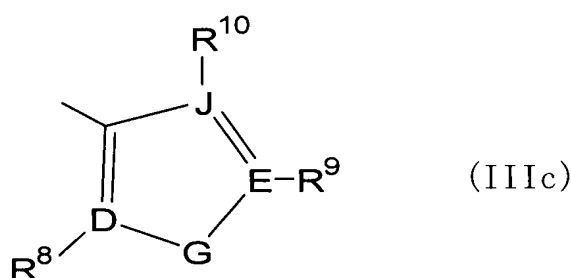
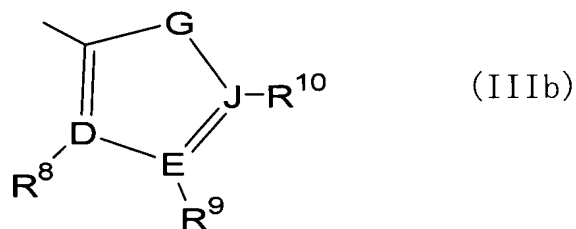
(ii) any one of  $R^{31}$  and  $R^{32}$  represents a hydrogen atom, and the other represents  $C_{1-6}$  alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,

(iii)  $R^{31}$  and  $R^{32}$ , which may be the same or different, represent  $C_{1-6}$  alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or

(iv)  $R^{31}$  and  $R^{32}$  together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and

\* represents a bond to  $-C(=O)-NH(-Z)$

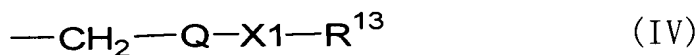
R<sup>5</sup> represents formula (IIIb) or formula (IIIc)



wherein

(i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup>, which may be the same or different, represent a halogen atom; hydroxymethyl; C<sub>1-6</sub> alkyl optionally substituted by a halogen atom; or C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom, or

(ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup> represents a group of formula (IV)

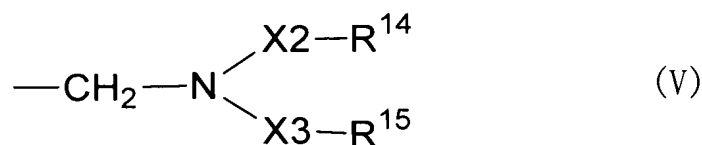


wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

$R^{13}$  represents a hydrogen atom, a halogen atom,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  alkylthio, mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl,  $-S(=O)_2(-OH)$ ,  $C_{1-6}$  alkoxy- or aryloxy-carbonyl,  $C_{1-6}$  alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;  
or a group of formula (V)



wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

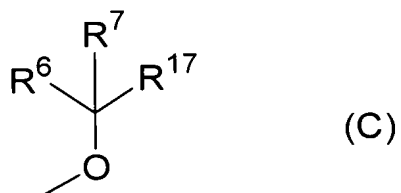
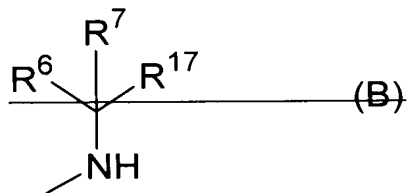
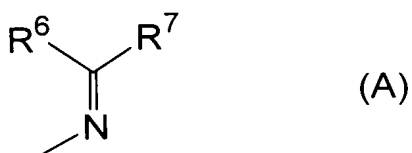
X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

$R^{14}$  and  $R^{15}$ , which may be the same or different, represent a hydrogen atom; a halogen atom;  $C_{1-6}$  alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom,  $C_{1-6}$  alkyl, or  $C_{1-6}$  alkyloxy, a heterocyclic group optionally substituted by a halogen atom,  $C_{1-6}$  alkyl, or  $C_{1-6}$  alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two  $C_{1-6}$  alkyl groups, they together may form  $C_{3-7}$  cycloalkyl;  $C_{1-6}$  alkoxy;  $C_{1-6}$  alkylthio; mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino

group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X<sub>2</sub> represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X<sub>3</sub> represents a bond, R<sup>15</sup> represents a hydrogen atom, or

R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R<sup>14</sup> and R<sup>15</sup> are attached, and is optionally substituted by hydroxyl; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino

optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group; and the others represent a hydrogen atom, Z represents group (A), ~~group (B)~~, or group (C):



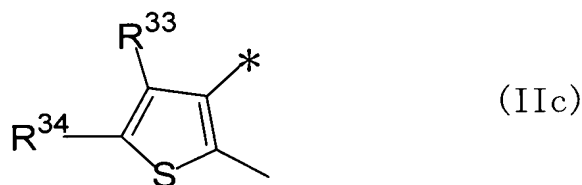
wherein

R<sup>6</sup> represents a hydrogen atom or C<sub>1-6</sub> alkyl,

$R^7$  represents optionally substituted aryl, optionally substituted aryl  $C_{1-6}$  alkyl, optionally substituted aryl  $C_{2-6}$  alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

$R^{17}$  represents a hydrogen atom.

Claim 61 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIc)



wherein

(i)  $R^{33}$  and  $R^{34}$  represent a hydrogen atom,

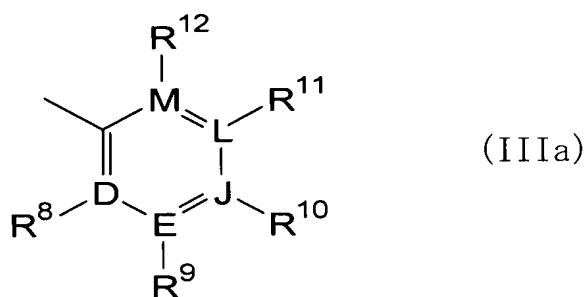
(ii) any one of  $R^{33}$  and  $R^{34}$  represents a hydrogen atom, and the other represents  $C_{1-6}$  alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,

(iii)  $R^{33}$  and  $R^{34}$ , which may be the same or different, represent  $C_{1-6}$  alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or

(iv)  $R^{33}$  and  $R^{34}$  together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and

\* represents a bond to  $-C(=O)-NH(-Z)$

$R^5$  represents formula (IIIa)

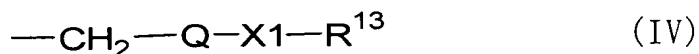


wherein

(i) D, E, J, L, and M represent a carbon atom, any one or two of R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, and R<sup>12</sup>, which may be the same or different, represent a halogen atom; hydroxymethyl; C<sub>1-6</sub> alkyl optionally substituted by a halogen atom; or C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, and R<sup>12</sup> may be the same or different and represent a halogen atom; hydroxymethyl; C<sub>1-6</sub> alkyl optionally substituted by a halogen atom, or C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(iii) D, E, J, L, and M represent a carbon atom, R<sup>8</sup>, R<sup>9</sup>, and R<sup>12</sup> represent a hydrogen atom, any one of R<sup>10</sup> and R<sup>11</sup> represents a group of formula (IV)



wherein

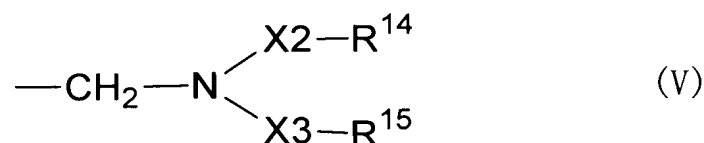
Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may



form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;  
or a group of formula (V)



wherein

X<sub>2</sub> represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X<sub>3</sub> represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

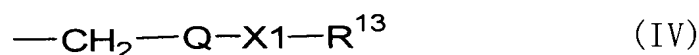
R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally

substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X<sub>2</sub> represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X<sub>3</sub> represents a bond, R<sup>15</sup> represents a hydrogen atom, or

R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R<sup>14</sup> and R<sup>15</sup> are attached, and is optionally substituted by hydroxyl; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or

an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;  
and the other represents a hydrogen atom,

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R<sup>8</sup>, R<sup>9</sup>, and R<sup>12</sup> represent a hydrogen atom, and one of R<sup>10</sup> and R<sup>11</sup> represents a group of formula (IV)



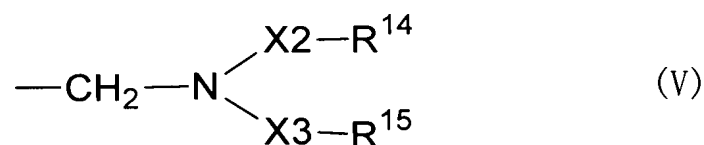
wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

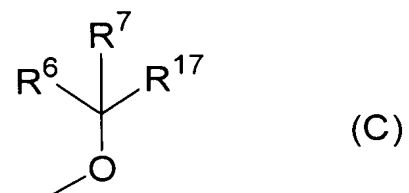
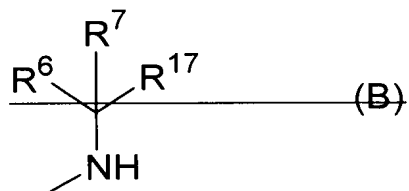
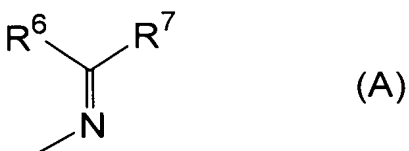
X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylemethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R<sup>14</sup>

represents a hydrogen atom, or when X3 represents a bond, R<sup>15</sup> represents a hydrogen atom, or

R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R<sup>14</sup> and R<sup>15</sup> are attached, and is optionally substituted by hydroxyl; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group; and the other represents a hydrogen atom,

Z represents group (A), ~~group (B)~~, or group (C):



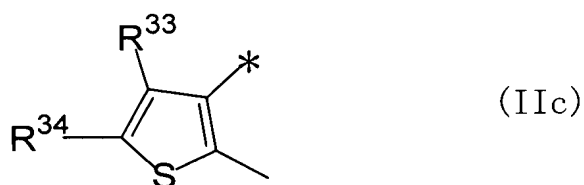
wherein

R<sup>6</sup> represents a hydrogen atom or C<sub>1-6</sub> alkyl,

R<sup>7</sup> represents optionally substituted aryl, optionally substituted aryl C1-6 alkyl, optionally substituted aryl C<sub>2-6</sub> alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R<sup>17</sup> represents a hydrogen atom.

Claim 62 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIc)



wherein

(i)  $R^{33}$  and  $R^{34}$  represent a hydrogen atom,

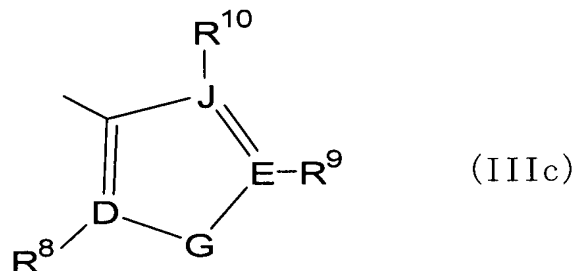
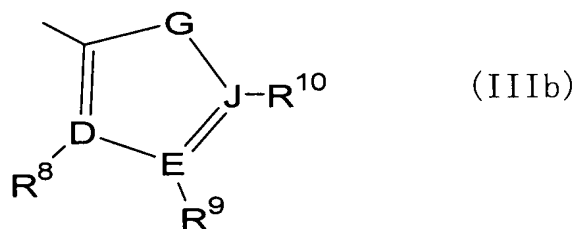
(ii) any one of  $R^{33}$  and  $R^{34}$  represents a hydrogen atom, and the other represents  $C_{1-6}$  alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,

(iii)  $R^{33}$  and  $R^{34}$ , which may be the same or different, represent  $C_{1-6}$  alkyl optionally substituted by mono- or di- $C_{1-6}$  alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or

(iv)  $R^{33}$  and  $R^{34}$  together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and

\* represents a bond to  $-C(=O)-NH(-Z)$

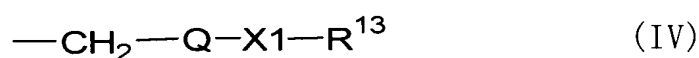
$R^5$  represents formula (IIIb) or formula (IIIc)



wherein

(i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup>, which may be the same or different, represent a halogen atom; hydroxymethyl; C<sub>1-6</sub> alkyl optionally substituted by a halogen atom; or C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom, or

(ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup> represents a group of formula (IV)



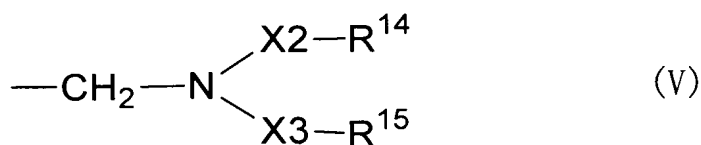
wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)





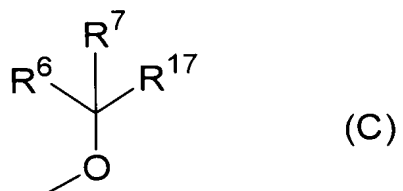
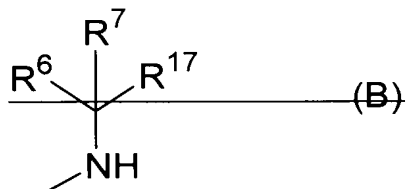
wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X3 represents a bond, R<sup>15</sup> represents a hydrogen atom, or

$R^{14}$  and  $R^{15}$  together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which  $R^{14}$  and  $R^{15}$  are attached, and is optionally substituted by hydroxyl;  $C_{1-6}$  alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom,  $C_{1-6}$  alkyl, or  $C_{1-6}$  alkyloxy, or a heterocyclic group optionally substituted by a halogen atom,  $C_{1-6}$  alkyl, or  $C_{1-6}$  alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two  $C_{1-6}$  alkyl groups, they together may form  $C_{3-7}$  cycloalkyl; mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di- $C_{1-6}$  alkylcarbamoylemethyl in which the di- $C_{1-6}$  alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two  $C_{1-6}$  alkoxy groups which may be the same or different, the two alkoxy groups together may form group  $-O-(CH_2)_p-O-$  wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group; and the others represent a hydrogen atom, Z represents group (A), ~~group (B)~~, or group (C):



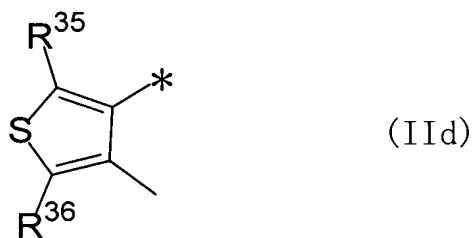
wherein

$R^6$  represents a hydrogen atom or  $C_{1-6}$  alkyl,

$R^7$  represents optionally substituted aryl, optionally substituted aryl  $C_{1-6}$  alkyl, optionally substituted aryl  $C_{2-6}$  alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

$R^{17}$  represents a hydrogen atom.

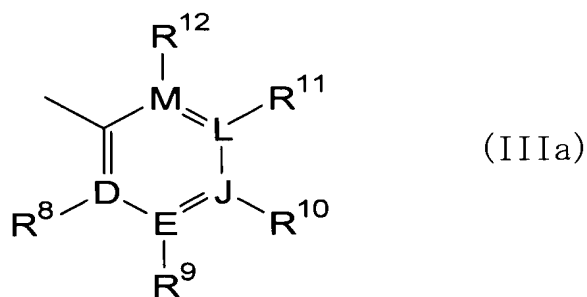
Claim 63 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIId)



wherein  $R^{35}$  and  $R^{36}$  represent a hydrogen atom, or any one of  $R^{35}$  and  $R^{36}$  represents a hydrogen atom and the other represents  $C_{1-6}$  alkyl optionally substituted by a halogen atom, and

\* represents a bond to  $-C(=O)-NH(-Z)$

$R^5$  represents formula (IIIa)



wherein

(i) D, E, J, L, and M represent a carbon atom, any one or two of  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$ , which may be the same or different, represent a halogen atom; hydroxymethyl;  $C_{1-6}$  alkyl optionally substituted by a halogen atom; or  $C_{1-6}$  alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$  may be the same or different and represent a halogen atom; hydroxymethyl;  $C_{1-6}$  alkyl optionally substituted by a halogen atom, or  $C_{1-6}$  alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(iii) D, E, J, L, and M represent a carbon atom,  $R^8$ ,  $R^9$ , and  $R^{12}$  represent a hydrogen atom, any one of  $R^{10}$  and  $R^{11}$  represents a group of formula (IV)

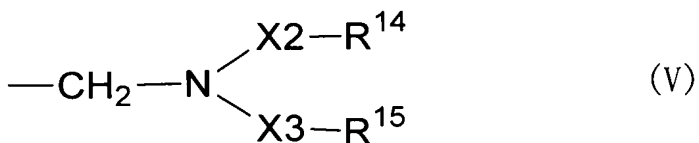


wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;  
or a group of formula (V)



wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic

group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X<sub>2</sub> represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X<sub>3</sub> represents a bond, R<sup>15</sup> represents a hydrogen atom, or

R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R<sup>14</sup> and R<sup>15</sup> are attached, and is optionally substituted by hydroxyl; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form

cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group; and the other represents a hydrogen atom, or

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R<sup>8</sup>, R<sup>9</sup>, and R<sup>12</sup> represent a hydrogen atom, and one of R<sup>10</sup> and R<sup>11</sup> represents a group of formula (IV)



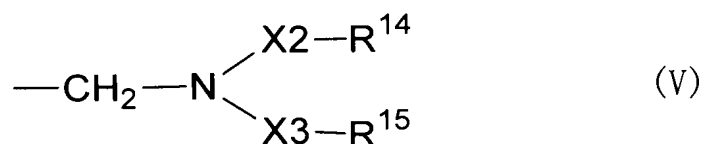
wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio,

hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;  
or a group of formula (V)



wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

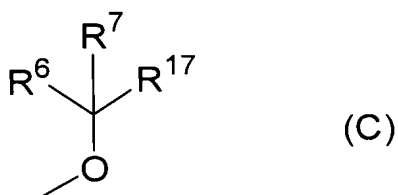
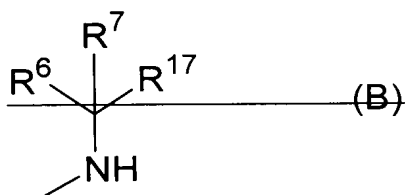
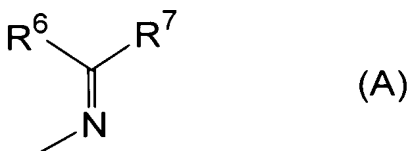
R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally



substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X<sub>2</sub> represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X<sub>3</sub> represents a bond, R<sup>15</sup> represents a hydrogen atom, or

R<sup>14</sup> and R<sup>15</sup> together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R<sup>14</sup> and R<sup>15</sup> are attached, and is optionally substituted by hydroxyl; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or

an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C<sub>1-6</sub> alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;  
and the other represents a hydrogen atom,  
Z represents group (A), ~~group (B)~~, or group (C):



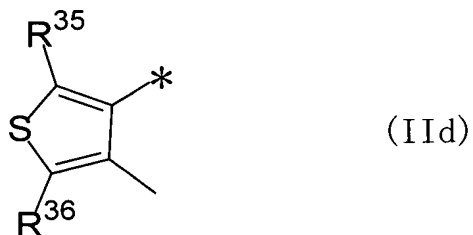
wherein

R<sup>6</sup> represents a hydrogen atom or C<sub>1-6</sub> alkyl,

R<sup>7</sup> represents optionally substituted aryl, optionally substituted aryl C<sub>1-6</sub> alkyl, optionally substituted aryl C<sub>2-6</sub> alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R<sup>17</sup> represents a hydrogen atom.

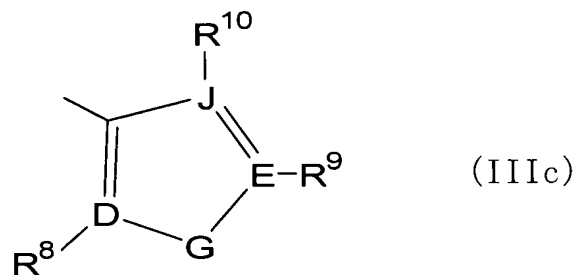
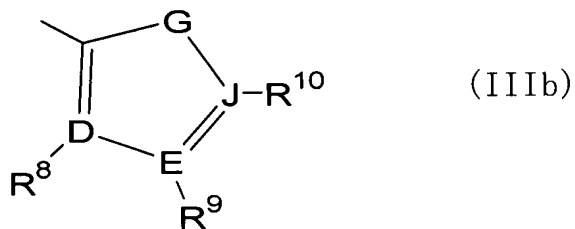
Claim 64 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIId)



wherein R35 and R36 represent a hydrogen atom, or any one of R35 and R36 represents a hydrogen atom and the other represents C<sub>1-6</sub> alkyl optionally substituted by a halogen atom, and

\* represents a bond to -C(=O)-NH(-Z)

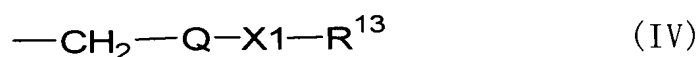
R<sup>5</sup> represents formula (IIIb) or formula (IIIc)



wherein

(i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup>, which may be the same or different, represent a halogen atom; hydroxymethyl; C<sub>1-6</sub> alkyl optionally substituted by a halogen atom; or C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup> represents a group of formula (IV)



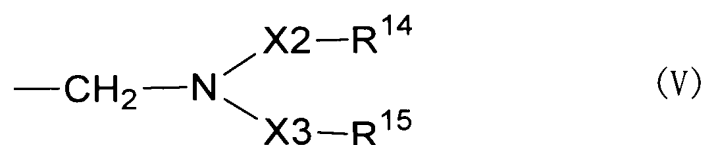
wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>13</sup> represents a hydrogen atom, a halogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)<sub>2</sub>(-OH), C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl, C<sub>1-6</sub> alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



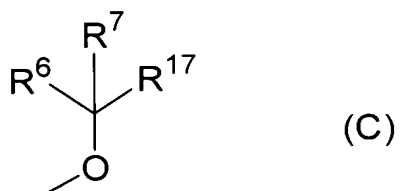
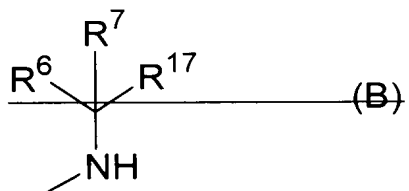
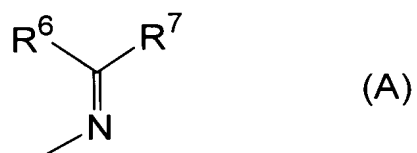
wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R<sup>14</sup> and R<sup>15</sup>, which may be the same or different, represent a hydrogen atom; a halogen atom; C<sub>1-6</sub> alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C<sub>1-6</sub> alkyl groups, they together may form C<sub>3-7</sub> cycloalkyl; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio; mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C<sub>1-6</sub> alkyl; mono- or di-C<sub>1-6</sub> alkylcarbamoylmethyl in which the di-C<sub>1-6</sub> alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C<sub>1-6</sub> alkoxy- or aryloxy-carbonyl; C<sub>1-6</sub> alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R<sup>14</sup> represents a hydrogen atom, or when X3 represents a bond, R<sup>15</sup> represents a hydrogen atom, or

$R^{14}$  and  $R^{15}$  together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which  $R^{14}$  and  $R^{15}$  are attached, and is optionally substituted by hydroxyl;  $C_{1-6}$  alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom,  $C_{1-6}$  alkyl, or  $C_{1-6}$  alkyloxy, or a heterocyclic group optionally substituted by a halogen atom,  $C_{1-6}$  alkyl, or  $C_{1-6}$  alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two  $C_{1-6}$  alkyl groups, they together may form  $C_{3-7}$  cycloalkyl; mono- or di- $C_{1-6}$  alkylamino in which the di- $C_{1-6}$  alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di- $C_{1-6}$  alkylcarbamoylmethyl in which the di- $C_{1-6}$  alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two  $C_{1-6}$  alkoxy groups which may be the same or different, the two alkoxy groups together may form group  $-O-(CH_2)_p-O-$  wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group; and the others represent a hydrogen atom, Z represents group (A), ~~group (B)~~, or group (C):



wherein

$R^6$  represents a hydrogen atom or  $C_{1-6}$  alkyl,

$R^7$  represents optionally substituted aryl, optionally substituted aryl  $C_{1-6}$  alkyl, optionally substituted aryl  $C_{2-6}$  alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

$R^{17}$  represents a hydrogen atom.

Claim 65 (Canceled).

Claim 66 (Previously Presented): A pharmaceutical composition comprising as an active ingredient a compound according to claim 50 or a pharmaceutically acceptable salt thereof.

Claims 67-86 (Canceled).

Claim 87 (Previously Presented): A method for preventing or treating a disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically effective, said method comprising the step of administering a therapeutically or prophylactically effective amount of a compound according to claim 50 or a pharmaceutically acceptable salt thereof to a mammal.

Claim 88 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is hyperphosphatemia.

Claim 89 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is renal failure or chronic renal failure.

Claim 90 (Original): The method according to claim 87, wherein the diseases for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective are secondary hyperparathyroidism and primary hyperparathyroidism and diseases related thereto.

Claim 91 (Original): The method according to claim 90, wherein the secondary hyperparathyroidism-related disease is renal osteodystrophy, central or peripheral nervous system damage induced by PTH increase or vitamin D lowering, anemia, cardiomyopathy, hyperlipidemia, anomaly of saccharometabolism, pruritus cutaneus, tendon rupture, sexual



dysfunction, muscle damage, skin ischemic ulcer, growth retardation, heart conduction disturbance, pulmonary diffusing impairment, immune deficiency, ostealgia and arthralgia, bone deformity, or fracture.

Claim 92 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is calcium/phosphorus metabolic disorder, for example, metabolic osteopathy.

Claim 93 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is a disease for which the suppression of calcium and/or phosphorus product is therapeutically effective.

Claim 94 (Original): The method according to claim 93, wherein the disease for which the suppression of calcium and/or phosphorus product is therapeutically effective is calcification of cardiovascular system in dialysis patients, age-related arterial sclerosis, diabetic vasculopathy, calcification of soft tissue, metastatic calcification, ectopic calcification, red eye, arthralgia, myalgia, pruritus cutaneus, heart conduction disturbance, pulmonary diffusing impairment, angina pectoris, cardiac infarction, or heart failure induced by cardiac murmur or valvular disease.

Claim 95 (Previously Presented): A method for lowering the concentration of serum phosphorus in a blood stream, said method comprising the step of administering a

therapeutically or prophylactically effective amount of a compound according to claim 50 or a pharmaceutically acceptable salt thereof to a mammal.

Claim 96 (Previously Presented): A method for inhibiting phosphate transport in vivo, said method comprising the step of administering a therapeutically or prophylactically effective amount of a compound according to claim 50 or a pharmaceutically acceptable salt thereof to a mammal.